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METAL AND SOLVENT-FREE DIRECT C3-ALKYLATION OF 4-HYDROXYCOUMARINS WITH STYRENES

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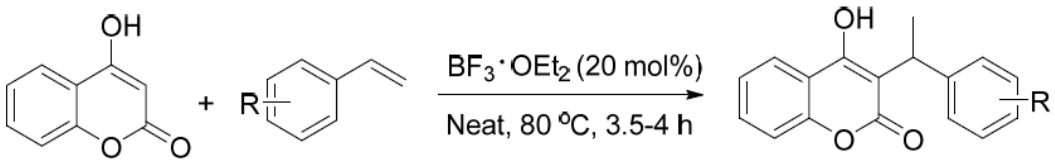
Abstract. Coumarin and its derivatives are broadly founded throughout the plant region and represent one of the most active classes of compoundswhichhas drawn considerable attention by the exhibition of wide range of biological activities such as antitumor, anti-HIV, antimalarial, antibacterial, and cytotoxic[1]. 3-Alkylated coumarins are valuable structural motifs which have wide range application in the chemical and pharmaceutical industry[2]. Previously, several processes have been reported in the literatures about the C3-alkylation of 4-hydroxycoumarins, most of them need organic halides or strong acids or metal catalyst as substrates[3]. Most of the cases the alkylation has been taken place by benzylic alcohols or metal salts as catalyst[4]. Herein, we have developed another catalytic method for direct C3-alkylation of 4-hydroxycoumarins using styrene. We have performed C3-alkylation of 4-hydroxycoumarins using various styrene in the presence of $BF_3{\cdot}Et_2O$ without any solvent and under 80 °C temperature (Scheme 1).



Scheme 1. BF3.Et2O catalysed of C3-alkylation of 4-hydroxycoumarins

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